

blessing/09770562

d his

(FILE 'HOME' ENTERED AT 10:28:48 ON 07 SEP 2001)

FILE 'REGISTRY' ENTERED AT 10:30:36 ON 07 SEP 2001

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 0 S L1
L5 2 S L1 FULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 10:37:21 ON 07 SEP 2001

L6 14 S L5
L7 412 S HYDROXYPROPYLMETHYLCELLULOSE ACETATE SUCCINATE OR
HYDROXYPROP
L8 2 S L6 AND L7

FILE 'REGISTRY' ENTERED AT 10:58:30 ON 07 SEP 2001

L9 1 S HPMCAS/CN
L10 1 S L9

FILE 'CAPLUS, USPATFULL' ENTERED AT 10:59:11 ON 07 SEP 2001

L11 2 S L10 AND L6

FILE 'REGISTRY' ENTERED AT 11:02:35 ON 07 SEP 2001

L12 1 S L2
L13 STRUCTURE UPLOADED
L14 0 S L13
L15 4 S L13 FULL
L16 0 S L15

FILE 'CAPLUS, USPATFULL' ENTERED AT 11:08:35 ON 07 SEP 2001

L17 13 S L15
L18 520 S L9 OR L7
L19 1 S L18 AND L17

FILE 'REGISTRY' ENTERED AT 11:11:26 ON 07 SEP 2001

L20 2 S L3

FILE 'CAPLUS' ENTERED AT 11:12:43 ON 07 SEP 2001

L21 2 S L20

FILE 'REGISTRY' ENTERED AT 11:27:12 ON 07 SEP 2001

L22 STRUCTURE UPLOADED
L23 0 S L22
L24 6 S L22 FULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 11:29:35 ON 07 SEP 2001

L25 9 S L24
L26 1 S L18 AND L25

FILE 'REGISTRY' ENTERED AT 11:45:07 ON 07 SEP 2001

L27 STRUCTURE UPLOADED
L28 0 S L27
L29 4 S L27 FULL
L30 0 S CAPLUS USPATFULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 11:47:08 ON 07 SEP 2001

blessing/09770562

L31 11 S L29
L32 3 S L31 AND L18

=>

blessing/09770562

ACCESSION NUMBER: 1999:193899 CAPLUS
DOCUMENT NUMBER: 130:227741
TITLE: Solid pharmaceutical dispersions with enhanced bioavailability
INVENTOR(S): Curatolo, William John; Herbig, Scott Max; Nightingale, James Alan Schriver
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 901786	A2	19990317	EP 1998-305960	19980727
EP 901786	A3	20000119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1207896	A	19990217	CN 1998-116282	19980810
JP 11116502	A2	19990427	JP 1998-227328	19980811
JP 2984661	B2	19991129		
BR 9803144	A	20000111	BR 1998-3144	19980811

PRIORITY APPLN. INFO.: US 1997-55221 P 19970811

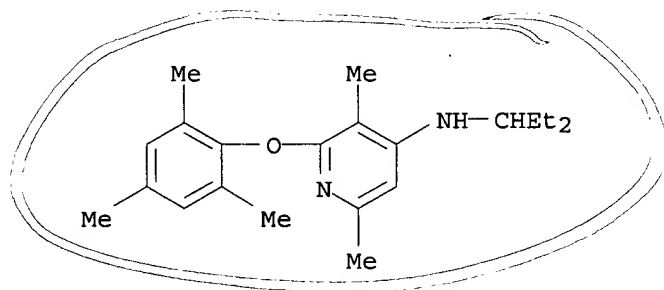
AB Spray dried solid dispersions comprising a sparingly sol. drug and **hydroxypropyl Me cellulose acetate succinate (HPMCAS)** provide increased aq. soly. and/or bioavailability in a use environment. Spray dried compns. were prepd. from **HPMCAS** and compds. such as ziprasidone, griseofulvin, nifedipine and phenytoin.

IT 175140-00-8

RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (solid pharmaceutical dispersions with enhanced bioavailability)

RN 175140-00-8 CAPLUS

CN 4-Pyridinamine, N-(1-ethylpropyl)-3,6-dimethyl-2-(2,4,6-trimethylphenoxy)-(9CI) (CA INDEX NAME)



blessing/09770562

=> d ibib ab hitstr 1-2

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:573516 CAPLUS

DOCUMENT NUMBER: 133:168404

TITLE: Osmotic system for delivery of solid amorphous dispersions of drugs

INVENTOR(S): Appel, Leah Elizabeth; Curatolo, William John; Herbig,

Scott Max; Nightingale, James Alan Schriver; Thombre, Avinash Govind

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1027888	A2	20000816	EP 2000-300572	20000126
EP 1027888	A3	20010228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000229846	A2	20000822	JP 2000-33132	20000210

PRIORITY APPLN. INFO.: US 1999-119406 P 19990210

AB Controlled release dosage forms for low soly. drugs comprise an amorphous solid dispersion of the drug coated with a non-dissolving and non-eroding coating that controls the influx of water to the core so as to cause extrusion of a portion of the core, as well as a method of treating a disease or disorder comprising administering such dosage form to a person.

A solid dispersion was prepd. from [R-(R*,S*)]-5-chloro-N-[2-hydroxy-3-[methoxymethylamino-3-oxo-1-(phenylmethyl)propyl]propyl]-1H-indole-2-carboxamide (a glycogen phosphorylase inhibitor) and **hydroxypropyl Me cellulose acetate succinate**.

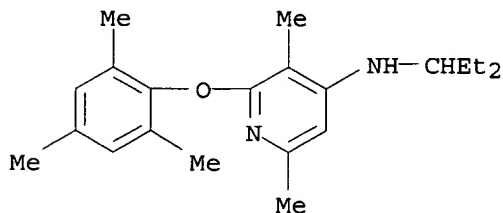
IT 175140-00-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (osmotic system for delivery of solid amorphous dispersions of drugs)

RN 175140-00-8 CAPLUS

CN 4-Pyridinamine,

N-(1-ethylpropyl)-3,6-dimethyl-2-(2,4,6-trimethylphenoxy)- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2001 ACS

blessing/09770562

=> d ibib ab hitstr

L19 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:193899 CAPLUS

DOCUMENT NUMBER: 130:227741

TITLE: Solid pharmaceutical dispersions with enhanced bioavailability

INVENTOR(S): Curatolo, William John; Herbig, Scott Max; Nightingale, James Alan Schriver

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 901786	A2	19990317	EP 1998-305960	19980727
EP 901786	A3	20000119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1207896	A	19990217	CN 1998-116282	19980810
JP 11116502	A2	19990427	JP 1998-227328	19980811
JP 2984661	B2	19991129		
BR 9803144	A	20000111	BR 1998-3144	19980811
PRIORITY APPLN. INFO.:			US 1997-55221	P 19970811
AB	Spray dried solid dispersions comprising a sparingly sol. drug and hydroxypropyl Me cellulose acetate succinate (HPMCAS) provide increased aq. soly. and/or bioavailability in a use environment. Spray dried compns. were prepd. from HPMCAS and compds. such as ziprasidone, griseofulvin, nifedipine and phenytoin.			
IT	71138-97-1, Hydroxypropyl methyl cellulose acetate succinate 175139-41-0			
THU	RL: PEP (Physical, engineering or chemical process); PRP (Properties); (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (solid pharmaceutical dispersions with enhanced bioavailability)			
RN	71138-97-1 CAPLUS			
CN	Cellulose, 2-hydroxypropyl methyl ether, acetate hydrogen butanedioate (9CI) (CA INDEX NAME)			
CM	1			
CRN	110-15-6			
CMF	C4 H6 O4			

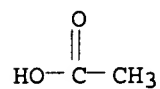
HO₂C-CH₂-CH₂-CO₂H

CM 2

CRN 64-19-7

blessing/09770562

CMF C2 H4 O2



CM 3

CRN 9004-65-3
CMF C3 H8 O2 . x C H4 O . x Unspecified
CDES 8:GD

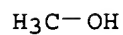
CM 4

CRN 9004-34-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

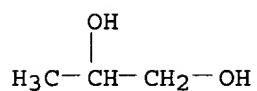
CM 5

CRN 67-56-1
CMF C H4 O

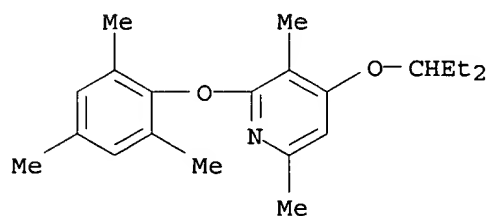


CM 6

CRN 57-55-6
CMF C3 H8 O2



RN 175139-41-0 CAPLUS
CN Pyridine, 4-(1-ethylpropoxy)-3,6-dimethyl-2-(2,4,6-trimethylphenoxy)-
(9CI) (CA INDEX NAME)



blessing/09770562

blessing/09770562

=> d ibib ab hitstr

L21 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:20142 CAPLUS
DOCUMENT NUMBER: 132:73643
TITLE: 5-Lipoxygenase inhibitors
INVENTOR(S): Stevens, Rodney W.
PATENT ASSIGNEE(S): Pfizer Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

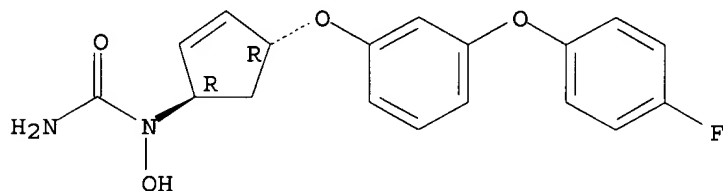
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000001433	A2	20000107	JP 1999-157696	19990604
PRIORITY APPLN. INFO.:			WO 1998-IB901	19980611

AB The compds. (I; R = halogen, (substituted phenoxy) C1-4 alkyl; Z = H, C1-4 alkyl; M = H, pharmaceutically acceptable cations) are claimed as 5-lipoxygenase inhibitors for treatment of related diseases including inflammatory, allergic, and cardiovascular diseases. I can be formulated into tablets, powders, lozenges, syrups, capsules, solns., and suspensions.

IT **179266-88-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-Lipoxygenase inhibitors for treatment of inflammatory, allergic, and cardiovascular diseases)

RN 179266-88-7 CAPLUS
CN Urea, N-[(1R,4R)-4-[3-(4-fluorophenoxy)phenoxy]-2-cyclopenten-1-yl]-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

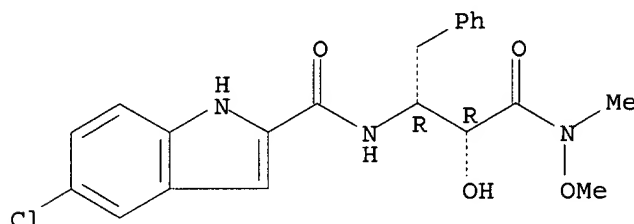


blissing/09770562

=> d ide 1-6

L24 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2001 ACS
RN 186392-74-5 REGISTRY
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H22 Cl N3 O4
SR CA
LC STN Files: CA, CAPLUS

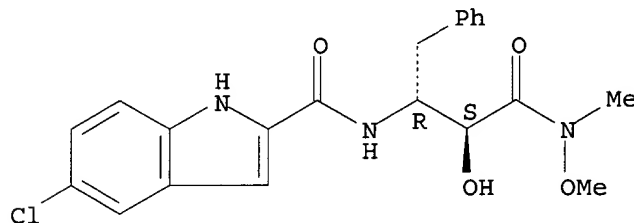
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L24 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2001 ACS
RN 186392-73-4 REGISTRY
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H22 Cl N3 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



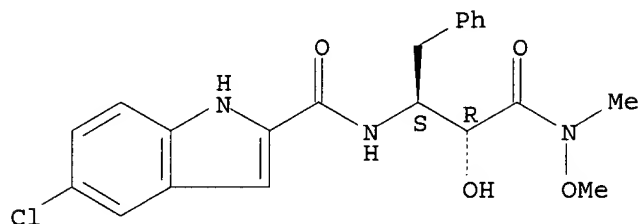
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L24 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2001 ACS
RN 186392-43-8 REGISTRY
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]-, [R-(R*,S*)]-

blessing/09770562

FS STEREOSEARCH
MF C21 H22 Cl N3 O4
SR CA
LC STN Files: CA, CAPLUS, TOXLIT, USPATFULL

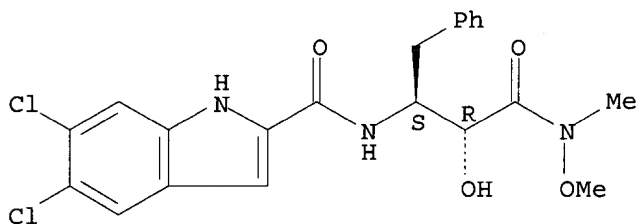
Absolute stereochemistry.



6 REFERENCES IN FILE CA (1967 TO DATE)
6 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L24 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2001 ACS
RN 186392-39-2 REGISTRY
CN 1H-Indole-2-carboxamide, 5,6-dichloro-N-[(1S,2R)-2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-Indole-2-carboxamide, 5,6-dichloro-N-[2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]-, [R-(R*,S*)]-
FS STEREOSEARCH
MF C21 H21 Cl2 N3 O4
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

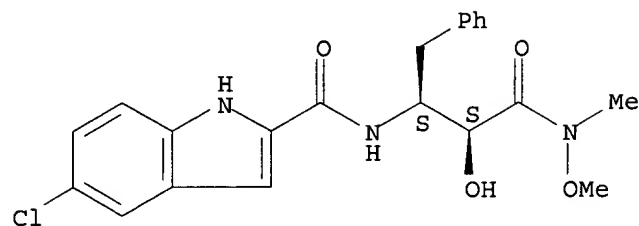


3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L24 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2001 ACS
RN 186392-31-4 REGISTRY
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H22 Cl N3 O4
SR CA
LC STN Files: CA, CAPLUS

blessing/09770562

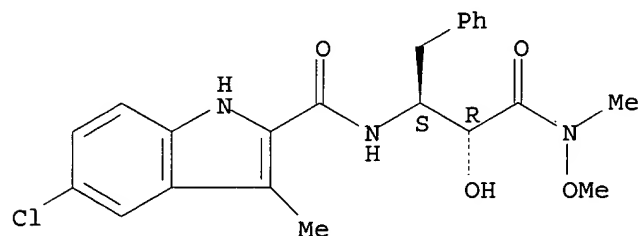
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L24 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2001 ACS
RN 186392-15-4 REGISTRY
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]-3-methyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 Cl N3 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

blessing/09770562

L26 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:193899 CAPLUS

DOCUMENT NUMBER: 130:227741

TITLE: Solid pharmaceutical dispersions with enhanced bioavailability

INVENTOR(S): Curatolo, William John; Herbig, Scott Max; Nightingale, James Alan Schriver

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 901786	A2	19990317	EP 1998-305960	19980727
EP 901786	A3	20000119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1207896	A	19990217	CN 1998-116282	19980810
JP 11116502	A2	19990427	JP 1998-227328	19980811
JP 2984661	B2	19991129		
BR 9803144	A	20000111	BR 1998-3144	19980811

PRIORITY APPLN. INFO.: US 1997-55221 P 19970811

AB Spray dried solid dispersions comprising a sparingly sol. drug and **hydroxypropyl Me cellulose acetate succinate (HPMCAS)** provide increased aq. soly. and/or bioavailability in a use environment. Spray dried compns. were prepd. from **HPMCAS** and compds. such as ziprasidone, griseofulvin, nifedipine and phenytoin.

IT **71138-97-1, Hydroxypropyl methyl cellulose acetate succinate 186392-43-8**

RL: PEP (Physical, engineering or chemical process); PRP (Properties);

THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (solid pharmaceutical dispersions with enhanced bioavailability)

RN 71138-97-1 CAPLUS

CN Cellulose, 2-hydroxypropyl methyl ether, acetate hydrogen butanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 110-15-6

CMF C4 H6 O4

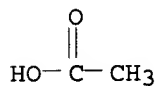
HO₂C-CH₂-CH₂-CO₂H

CM 2

CRN 64-19-7

CMF C2 H4 O2

blessing/09770562



CM 3

CRN 9004-65-3
CMF C3 H8 O2 . x C H4 O . x Unspecified
CDES 8:GD

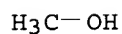
CM 4

CRN 9004-34-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

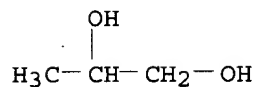
CM 5

CRN 67-56-1
CMF C H4 O



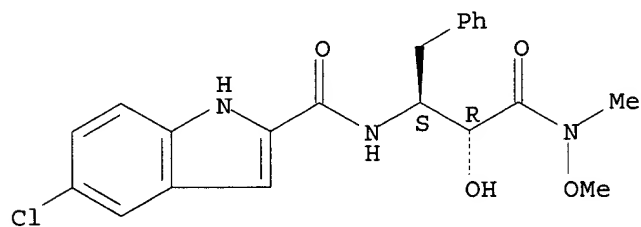
CM 6

CRN 57-55-6
CMF C3 H8 O2



RN 186392-43-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



blessing/09770562

blissing/09770562

=> d ibib abs hitstr 1-3

L32 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:489208 CAPLUS

DOCUMENT NUMBER: 135:97443

TITLE: Pharmaceutical compositions containing polymer for enhanced drug concentrations

INVENTOR(S): Babcock, Walter Christian; Curatolo, William John; Friesen, Dwayne Thomas; Lorenz, Douglas Alan; Nightingale, James Alan Schriver; Shanker, Ravi

Mysore

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047495	A1	20010705	WO 2000-IB1787	20001201
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 1999-171841 P 19991223

AB A drug in a soly.-improved form is combined with a concn.-enhancing polymer, i.e., a cellulosic or non-cellulosic polymer, in a sufficient amt. so that the combination provides substantially enhanced drug concn. in a use environment,, such as digestive tract, s.c. space, vagina, lung, blood vessels, and muscle relative to a control comprising the same amt. of the same soly.-improved form of drug without the concn.-enhancing polymer. For example, the soly. of sertraline-HCl was increased in presence of citric acid, giving a soly.-improvement factor of 9.3. Thus, citric acid is an excellent solubilizing agent for sertraline-HCl. A soln. was prepd. contg. 1000 .mu.g/mL sertraline-HCl, 500 .mu.g/mL citric acid, and 1000 .mu.g/mL **hydroxypropyl Me cellulose acetate succinate (HPMCAS)** in phosphate buffer. (pH 7.9). Addn. of the concn.-enhancing polymer **HPMCAS** resulted in a max. concn. that was 1.7-fold that of control contg. no polymer.

IT **71138-97-1, Hydroxypropyl methyl cellulose acetate succinate 186392-65-4**

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical compns. contg. polymer for enhanced drug concns.)

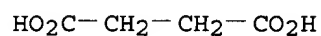
RN 71138-97-1 CAPLUS

CN Cellulose, 2-hydroxypropyl methyl ether, acetate hydrogen butanedioate (9CI) (CA INDEX NAME)

CM 1

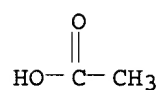
blessing/09770562

CRN 110-15-6
CMF C4 H6 O4



CM 2

CRN 64-19-7
CMF C2 H4 O2



CM 3

CRN 9004-65-3
CMF C3 H8 O2 . x C H4 O . x Unspecified
CDES 8:GD

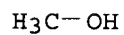
CM 4

CRN 9004-34-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

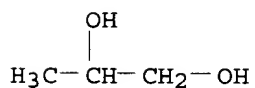
CM 5

CRN 67-56-1
CMF C H4 O



CM 6

CRN 57-55-6
CMF C3 H8 O2

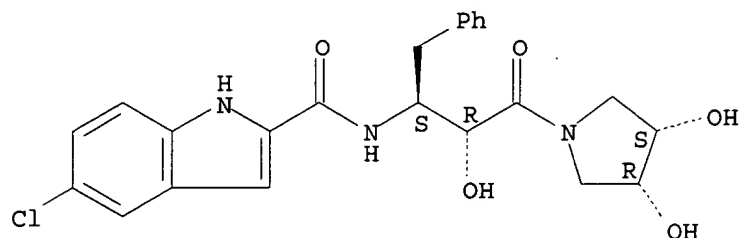


RN 186392-65-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-3-[(3R,4S)-3,4-dihydroxy-1-

blessing/09770562

pyrrolidinyl]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

REFERENCE(S):

- (1) Freund Ind Co Ltd; JP 06128147 A 1994
- (2) Fuisz; WO 9917744 A 1999 CAPLUS
- (3) Martin, F; US 4344934 A 1982 CAPLUS
- (4) Pfizer; WO 9901120 A 1999 CAPLUS

L32 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:573515 CAPLUS

DOCUMENT NUMBER: 133:182970

TITLE: Matrix controlled release device for a low-solubility drug

INVENTOR(S): Appel, Leah Elizabeth; Friesen, Dwayne Thomas; Curatolo, William John; Nightingale, James Alan Schriver; Thombre, Avinash Govind

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 26 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1027887	A2	20000816	EP 2000-300546	20000126
EP 1027887	A3	20010228		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2000229888	A2	20000822	JP 2000-33446	20000210
---------------	----	----------	---------------	----------

PRIORITY APPLN. INFO.: US 1999-119400 P 19990210

AB Disclosed are a controlled release dosage form for a low soly. drug that is a spray-dried or spray-coated amorphous solid dispersion of the drug in

a an ionizable cellulosic polymer matrix that is in turn incorporated into

secondary erodible polymeric matrix and a method of treating a disease or disorder comprising administering such a dosage form. A batch of solid dispersion was prepd. by spray-drying a soln. contg. drug 5-chloro-1H-indole-2-carboxylic acid [(1S-benzyl-3-(3R,4S)-dihydroxypyrrolidin-1-yl)-(2R)-hydroxy-3-oxypropyl]amide (water soly. 80 .mu.g/mL) in acetone together with hydroxypropyl Me cellulose acetate succinate. The resulting

blessing/09770562

solid dispersion was mixed with hydroxypropyl Me cellulose, lactose, and Mg stearate. The mixt. was finally compressed to give tablets.

IT 71138-97-1, Hydroxypropyl methyl
cellulose acetate succinate
186392-65-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cellulosic polymer and pH-sensitive polymer matrixes for solid
dispersion of low-soly. drugs)

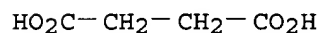
RN 71138-97-1 CAPLUS

CN Cellulose, 2-hydroxypropyl methyl ether, acetate hydrogen butanedioate
(9CI) (CA INDEX NAME)

CM 1

CRN 110-15-6

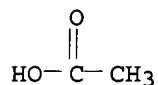
CMF C4 H6 O4



CM 2

CRN 64-19-7

CMF C2 H4 O2



CM 3

CRN 9004-65-3

CMF C3 H8 O2 . x C H4 O . x Unspecified

CDES 8:GD

CM 4

CRN 9004-34-6

CMF Unspecified

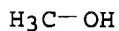
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 5

CRN 67-56-1

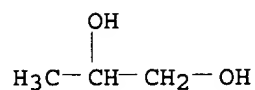
CMF C H4 O



blessing/09770562

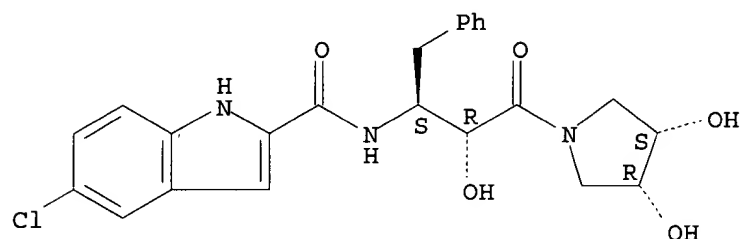
CM 6

CRN 57-55-6
CMF C3 H8 O2



RN 186392-65-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-3-[(3R,4S)-3,4-dihydroxy-1-pyrrolidinyl]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:193899 CAPLUS
DOCUMENT NUMBER: 130:227741
TITLE: Solid pharmaceutical dispersions with enhanced bioavailability
INVENTOR(S): Curatolo, William John; Herbig, Scott Max; Nightingale, James Alan Schriver
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 901786	A2	19990317	EP 1998-305960	19980727
EP 901786	A3	20000119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1207896	A	19990217	CN 1998-116282	19980810
JP 11116502	A2	19990427	JP 1998-227328	19980811
JP 2984661	B2	19991129		
BR 9803144	A	20000111	BR 1998-3144	19980811
PRIORITY APPLN. INFO.:		US 1997-55221	P	19970811
AB Spray dried solid dispersions comprising a sparingly sol. drug and hydroxypropyl Me cellulose acetate				

blessing/09770562

succinate (HPMCAS) provide increased aq. soly. and/or bioavailability in a use environment. Spray dried compns. were prepd. from **HPMCAS** and compds. such as ziprasidone, griseofulvin, nifedipine and phenytoin.

IT 71138-97-1, **Hydroxypropyl methyl cellulose acetate succinate**
186392-65-4

RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (solid pharmaceutical dispersions with enhanced bioavailability)

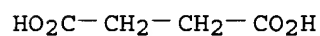
RN 71138-97-1 CAPLUS

CN Cellulose, 2-hydroxypropyl methyl ether, acetate hydrogen butanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 110-15-6

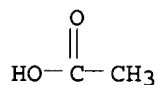
CMF C4 H6 O4



CM 2

CRN 64-19-7

CMF C2 H4 O2



CM 3

CRN 9004-65-3

CMF C3 H8 O2 . x C H4 O . x Unspecified

CDES 8:GD

CM 4

CRN 9004-34-6

CMF Unspecified

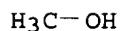
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 5

CRN 67-56-1

CMF C H4 O



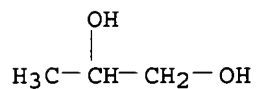
blessing/09770562

H₃C-OH

CM 6

CRN 57-55-6

CMF C3 H8 O2



RN 186392-65-4 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-3-[(3R,4S)-3,4-dihydroxy-1-pyrrolidinyl]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

